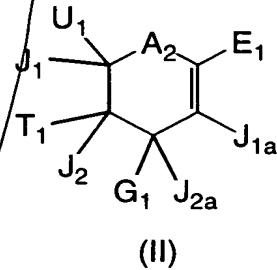
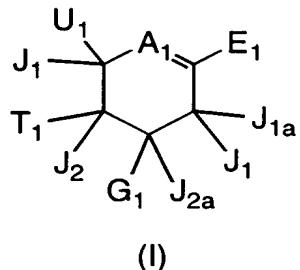


What is Claimed Is:

1. A composition comprising a compound of formula (I) or (II):



5 wherein

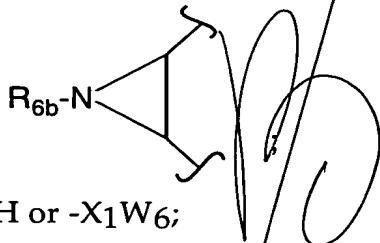
A1 is $-C(J_1)=$, or $-N=$;

A2 is $-C(J_1)_2-$, $-N(J_1)-$, $-N(O)(J_1)-$, $-N(O)=$, $-S-$, $-S(O)-$, $-S(O)_2-$ or $-O-$;

E1 is $-(CR_1R_1)m_1W_1$;

G1 is N_3 , $-CN$, $-OH$, $-OR_{6a}$, $-NO_2$, or $-(CR_1R_1)m_1W_2$;

10 T1 is $-NR_1W_3$, a heterocycle, or is taken together with U1 or G1 to form a group having the structure



U1 is H or $-X_1W_6$;

J1 and J1a are independently R_1 , Br, Cl, F, I, CN, NO₂ or N₃;

J2 and J2a are independently H or R_1 ;

R_1 is independently H or alkyl of 1 to 12 carbon atoms;

R_2 is independently R_3 or R_4 wherein each R_4 is independently substituted with 0 to 3 R_3 groups;

R_3 is independently F, Cl, Br, I, -CN, N₃, -NO₂, -OR_{6a}, -OR₁, -N(R₁)₂,

20 -N(R₁)(R_{6b}), -N(R_{6b})₂, -SR₁, -SR_{6a}, -S(O)R₁, -S(O)₂R₁, -S(O)OR₁, -S(O)OR_{6a},
 -S(O)₂OR₁, -S(O)₂OR_{6a}, -C(O)OR₁, -C(O)R_{6c}, -C(O)OR_{6a}, -OC(O)R₁,
 -N(R₁)(C(O)R₁), -N(R_{6b})(C(O)R₁), -N(R₁)(C(O)OR₁), -N(R_{6b})(C(O)OR₁),
 -C(O)N(R₁)₂, -C(O)N(R_{6b})(R₁), -C(O)N(R_{6b})₂, -C(NR₁)(N(R₁)₂),
 -C(N(R_{6b}))(N(R₁)₂), -C(N(R₁))(N(R₁)(R_{6b})), -C(N(R_{6b}))(N(R₁)(R_{6b})),
 25 -C(N(R₁))(N(R_{6b})₂), -C(N(R_{6b}))(N(R_{6b})₂), -N(R₁)C(N(R₁))(N(R₁)₂),
 -N(R₁)C(N(R₁))(N(R₁)(R_{6b})), -N(R₁)C(N(R_{6b}))(N(R₁)₂),
 -N(R_{6b})C(N(R₁))(N(R₁)(R_{6b})), -N(R₁)C(N(R_{6b}))(N(R₁)(R_{6b})),
 -N(R₁)C(N(R₁))(N(R_{6b})₂), -N(R_{6b})C(N(R_{6b}))(N(R₁)(R_{6b})),

$-N(R_{6b})C(N(R_1))(N(R_{6b})_2)$, $-N(R_1)C(N(R_{6b}))_2(N(R_{6b})_2)$,
 $-N(R_{6b})C(N(R_{6b}))(N(R_{6b})_2)$, $=O$, $=S$, $=N(R_1)$ or $=N(R_{6b})$;

R₄ is independently alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms;

5 R₅ is independently R₄ wherein each R₄ is substituted with 0 to 3 R₃ groups;

R5a is independently alkylene of 1 to 12 carbon atoms, alkenylene of 2 to 12 carbon atoms, or alkynylene of 2-12 carbon atoms any one of which alkylene, alkenylene or alkynylene is substituted with 0-3 R₃ groups;

10 R_{6a} is independently H or a protecting group for hydroxyl or thio;

R_{6b} is independently H, a protecting group for amino or the residue of a carboxyl-containing compound;

R_{6c} is independently H or the residue of an amino-containing compound;

15 W₁ is a group comprising an acidic hydrogen, a protected acidic group, or an R_{6c} amide of the group comprising an acidic hydrogen;

W₂ is a group comprising a basic heteroatom or a protected basic heteroatom, or an R_{6b} amide of the basic heteroatom;

W₃ is W₄ or W₅;

W₄ is R₅ or -C(O)R₅, -C(O)W₅, -SO₂R₅, or -SO₂W₅;

W5 is carbocycle or heterocycle wherein W5 is independently substituted with 0 to 3 R₂ groups;

W_6 is $-R_5$, $-W_5$, $-R_{5a}W_5$, $-C(O)OR_{6a}$, $-C(O)R_{6c}$, $-C(O)N(R_{6b})_2$,
 $-C(NR_{6b})(N(R_{6b})_2)$, $-C(NR_{6b})(N(H)(R_{6b}))$, $-C(N(H)(N(R_{6b})_2)$, $-C(S)N(R_{6b})_2$, or
 $-C(O)R_2$;

X1 is a bond, -O-, -N(H)-, -N(R5)-, -N(OH)-, -N(OR5)-, -N(NH2)-, -N(N(H)(R5))-, -N(N(R5)2)-, -N(H)N(R5)-, -S-, -SO-, or -SO2-; and

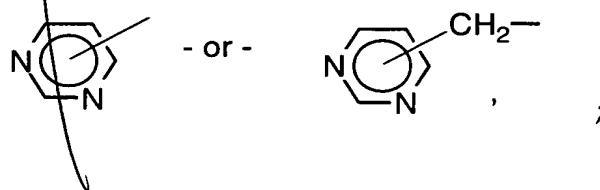
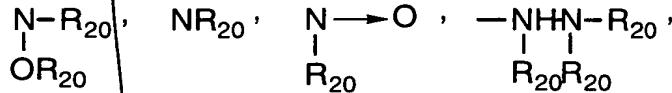
each m_1 is independently an integer from 0 to 2;

provided, however, that compounds are excluded wherein:

(a) A₁ is -CH= or -N= and A₂ is -CH₂-;

(b) E₁ is COOH, P(O)(OH)₂, SOOH, SO₃H, or tetrazol;

(c) G1 is CN, N(H)R₂₀, N₃, SR₂₀, OR₂₀, guanidino,

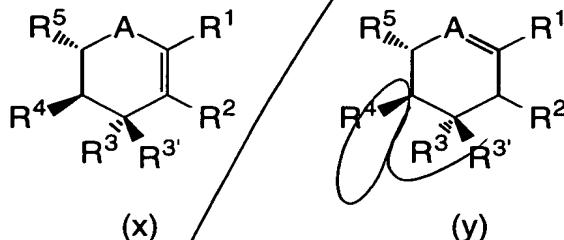


- 5 (d) T1 is -NHR20;
5 (e) R20 is H; an acyl group having 1 to 4 carbon atoms; a linear or cyclic alkyl group having 1 to 6 carbon atoms, or a halogen-substituted analogue thereof; an allyl group or an unsubstituted aryl group or an aryl substituted by a halogen, an OH group, an NO₂ group, an NH₂ group or a COOH group;
10 (f) J1 is H and J1a is H, F Cl, Br or CN;
10 (g) J2 is H and J2a is H, CN or N₃;
10 (h) U1 is CH₂YR20a, CHYR20aCH₂YR20a or CHYR20aCHYR20aCH₂YR20a;
10 (i) R20a is H or acyl having 1 to 4 carbon atoms;
10 (j) Y is O, S, H or NH;
10 (k) 0 to 2 YR20a are H, and
15 (l) successive Y moieties in a U1 group are the same or different, and when Y is H then R20a is a covalent bond, and the pharmaceutically acceptable salts and solvates thereof;

20 and the salts, solvates, resolved enantiomers and purified diastereomers thereof.

2. A method of inhibiting the activity of neuraminidase comprising the step of contacting a sample suspected of containing neuraminidase with the composition of Claim 1.

✓ 3. A method of treatment or prophylaxis of influenza virus infection in a host comprising administration to the host, by a route other than topically to the respiratory tract, of a therapeutically effective dose of an antivirally active compound of the formula:



wherein:

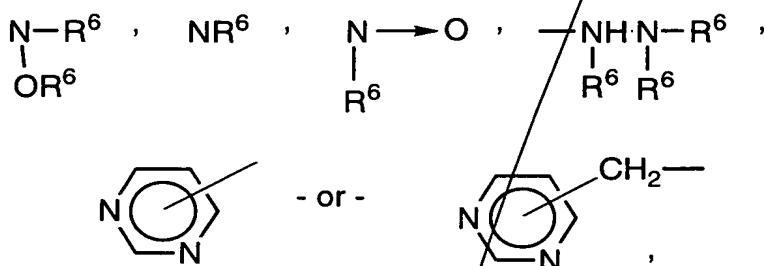
in general formula (x), A is oxygen, carbon or sulphur, and in general formula (y), A is nitrogen or carbon;

R^1 denotes COOH , $\text{P}(\text{O})(\text{OH})_2$, NO_2 , SOOH , SO_3H , tetrazol, CH_2CHO , CHO or $\text{CH}(\text{CHO})_2$,

R^2 denotes H , OR^6 , F , Cl , Br , CN , NHR^6 , SR^6 , or CH_2X , wherein X is NHR^6 , halogen or OR^6 and

5 R^6 is hydrogen; an acyl group having 1 to 4 carbon atoms; a linear or cyclic alkyl group having 1 to 6 carbon atoms, or a halogen-substituted analogue thereof; an allyl group or an unsubstituted aryl group or an aryl substituted by a halogen, an OH group, an NO_2 group, an NH_2 group or a COOH group,

10 R^3 and $R^{3'}$ are the same or different, and each denotes hydrogen, CN , NHR^6 , N_3 , SR^6 , $=\text{N}-\text{OR}^6$, OR^6 , guanidino,



15 R^4 denotes NHR^6 , SR^6 , OR^6 , COOR^6 , NO_2 , $\text{C}(\text{R}^6)_3$, CH_2COOR^6 , CH_2NO_2 or CH_2NHR^6 , and

R^5 denotes CH_2YR^6 , $\text{CHYR}^6\text{CH}_2\text{YR}^6$ or $\text{CHYR}^6\text{CHYR}^6\text{CH}_2\text{YR}^6$, where Y is O, S, NH or H, and successive Y moieties in an R^5 group are the same or different,

20 and pharmaceutically acceptable salts or derivatives thereof, provided that in general formula (x)

(i) when R^3 or $R^{3'}$ is OR^6 or hydrogen, and A is oxygen or sulphur, then said compound cannot have both

(a) an R^2 that is hydrogen and

(b) an R^4 that is NH-acyl, and

25 (ii) R^6 represents a covalent bond when Y is hydrogen, and that in general formula (y),

(i) when R^3 or $R^{3'}$ is OR^6 or hydrogen, and A is nitrogen, then said compound cannot have both

(a) an R^2 that is hydrogen, and

(b) an R^4 that is NH-acyl, and

(ii) R^6 represents a covalent bond when Y is hydrogen.

4. The composition of Claim 1 where further excluded are compounds wherein G₁ is -N(R₂₁)C(=N(R₂₁))N(R₂₁)₂ and R₂₁ is independently H, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₆ alkoxy, aryl, aralkyl, aryloxy, aralkyloxy, amino, hydroxy, cyano, nitro, COR₂₂, CO₂R₂₂, SO₂R₂₂ (where R₂₂ is C₁-C₆ alkyl or aralkyl), or CONR₂₃ (where R₂₃ is independently H or C₁-C₆ alkyl or aralkyl).
5. The composition of claim 1 wherein X₁ is a bond and W₆ is neither C₁-C₃ normal alkyl nor C₁-C₃ normal alkyl substituted with 1 to 3 OH, SH or NH₂.
10. The composition of claim 1 wherein X₁ is a bond and W₆ is neither C₁-C₃ normal alkyl nor C₁-C₃ normal alkyl substituted with 1 to 3 OH, SH or NH₂.
15. The composition of claim 1 wherein X₁ is a bond and W₆ is neither C₁-C₃ normal alkyl nor C₁-C₃ normal alkyl substituted with 1 to 3 OH, OR_{6a}, SH or NH₂, wherein this R_{6a} is a protecting group.
20. The composition of claim 1 wherein X₁ is a bond and W₆ is neither C₁-C₃ normal alkyl nor C₁-C₃ normal alkyl substituted with 1 to 3 OH, OR_{6a}, SH or NH₂, wherein this R_{6a} is a protecting group.
25. The composition of claim 1 wherein the proviso further excludes compounds wherein G₁ is (alk)_mNR^{6b}R^{7b};
- alk is unsubstituted or substituted methylene;
- m₄ is 0 or 1;
- R^{6b} is hydrogen, C₁-6alkyl, aryl, araalkyl, amidine, NR^{7b}R^{8b}, or an unsaturated or saturated ring containing one or more heteroatoms;
30. R^{7b} is hydrogen, C₁-6alkyl, or allyl, or NR^{6b}R^{7b} forms an optionally substituted 5 or 6 membered ring optionally containing one or more additional heteroatoms; and
- R^{8b} is hydrogen or C₁-6alkyl.
35. The composition of claim 9 wherein the proviso further excludes compounds wherein G₁ is NR^{6b}R^{7b}.

11. The composition of claim 1 wherein W₆ is C₁-C₃ alkyl substituted with 1 to 3 OR_{6a} or SR_{6a}, which OR_{6a} or SR_{6a} groups are stable to hydrolysis in gastrointestinal fluid.
- 5 12. The composition of claim 1 wherein if W₆ is substituted with R₃ and R₃ is substituted with OR_{6a} then this R_{6a} is not acetyl.
13. The composition of claim 1 wherein W₆ is -(CH₂)_{m1}CH((CH₂)_{m3}R₃)₂, -(CH₂)_{m1}C((CH₂)_{m3}R₃)₃; -(CH₂)_{m1}CH((CH₂)_{m3}R_{5a}W₅)₂;
- 10 -(CH₂)_{m1}CH((CH₂)_{m3}R₃)((CH₂)_{m3}R_{5a}W₅)₂; -(CH₂)_{m1}C((CH₂)_{m3}R₃)₂(CH₂)_{m3}R_{5a}W₅), (CH₂)_{m1}C((CH₂)_{m3}R_{5a}W₅)₃ or -(CH₂)_{m1}C((CH₂)_{m3}R₃)((CH₂)_{m3}R_{5a}W₅)₂ and m₃ is an integer from 1 to 3.
14. The composition of Claim 1, wherein X₁ is a bond and W₆ is -R₅, -W₅ or -R_{5a}W₅.
15. The composition of Claim 1 having Formula (I) wherein A₁ is -C(J₁)=, X₁ is a bond and W₆ is R₅.
- 20 16. The composition of Claim 15 wherein said R₅ is R₄ substituted with 0 to 3 -OR₁.
17. The composition of Claim 15 wherein said R₅ is R₄ substituted with 0 to 3 -NO₂ or N₃ groups.
- 25 18. The composition of Claim 16 wherein said -OR₁ is present and at least one of said R₁ is C₄-C₁₂.
19. The composition of Claim 1 wherein U₁ is -N(R₅)₂, -N(H)(CH(R_{5b})₂), -N(H)(CH₂CH(R_{5c})₂), -N(OR₅)(R₅), -N(N(H)(R₅))(R₅), -N(H)(N(R₅)₂), -N(R₅)(C(O)R₅), -C(O)N(R₅)₂, -C(S)N(R₅)₂, -OR_{5d}, -OCH(R_{5b})₂, -OCH₂CH(R_{5c})₂, -SR_{5d}, -\$CH(R_{5b})₂, -\$CH₂CH(R_{5c})₂, -S(O)R_{5d}, -S(O)CH(R_{5b})₂, -S(O)CH₂CH(R_{5c})₂, -S(O)₂R_{5d}, -S(O)₂CH(R_{5b})₂, -S(O)₂CH₂CH(R_{5c})₂, -C(N(R₅))(N(H)(R₅)), -C(O)R_{5d}, -C(O)CH(R_{5b})₂ or -C(O)CH₂CH(R_{5c})₂; and
- 30 wherein:
hydrogen of said U₁ -CH₂- or -CH- moieties optionally is substituted with -OR₁, -\$R₁, NO₂, N₃, F, -CN, Cl or Br;
- 35 R_{5b} is independently alkyl of 1 to 11 carbon atoms, alkenyl of 2 to

11 carbon atoms or alkynyl of 2 to 11 carbon atoms any one of which alkyl, alkenyl or alkynyl groups is substituted with 0 - 3 R₃ groups;

R_{5c} is independently alkyl of 1 to 10 carbon atoms, alkenyl of 2 to 10 carbon atoms or alkynyl of 2 to 10 carbon atoms any one of which alkyl,

5 alkenyl or alkynyl groups is substituted with 0 - 3 R₃ groups;

R_{5d} is a branched R₅ group; and

wherein if R₅, R_{5b}, R_{5c} or R_{5d} is substituted with 1 - 3 R₃ groups then R₃ is -OR₁, -SR₁, NO₂, N₃, F, -CN, Cl or Br.

10 20. The composition of claim 1 having Formula (I) wherein A₁ is -C(J₁)=, and W₆ is a branched chain R₄ group of 3 to 8 carbon atoms.